

Abstract

The invention concerns drug precursors with antimalarial effect, characterised in that it consists in quaternary bis-ammonium salts of general formula (I) wherein A and A', identical or different, are respectively either a group A1 and A'1 of formula (1) wherein $n = 2$ to 4; R'1 is hydrogen, C1-C5 alkyl, optionally substituted by an aryl, a hydroxy, an alkoxy, wherein the alkyl comprises 1 to 5 C, or aryloxy and W is halogen or a nucleofuge group; or a group A2 which represents formyl-CHO, or acetyl-COCH₃. B and B', identical or different, represent respectively either the group B1 and B'1, if A and A' respectively represent A1, A'1, B1, B'1 representing a group R1 which has the same definition as R'1 above, but cannot be a hydrogen atom; or respectively the groups B2 and B'2, if A and A' represent A2, B2 or B'2 being the group R1 as defined above, or a group of formula (2) wherein -Ra is RS- or RCO-, wherein R is a C1-C6 alkyl, substituted if required, a phenyl or benzyl, wherein the phenyl is substituted if required, the latter being optionally substituted; R2 is hydrogen, C1-C5 alkyl, or a -CH₂-COO-(C1-C5)alkyl group; and R3 is hydrogen, C1-C5 alkyl or alkenyl, substituted if required, a phosphate, an alkoxy wherein the alkyl is a C1-C3 alkyl, or aryloxy; or an alkyl (or aryl) carbonyloxy; or R2 and R3 form together a cycle with 5 or 6 C; R and R3 can be bound to form a cycle. \pm represents: either a single bond when A and A' represent A1 and A'1: or when A and A' represent A2, and B2 and B'2 Represent (3) either, when A and A' are -CHO or -COCH₃ and B2 and B'2 are R1, a group of formula (4) or a group of formula (5) wherein (a) represents a bond towards Z and (b) a bond towards the nitrogen atom. Z is a C9-C21 alkyl, if required with insertion of one or several bonds, and/or one or several heteroatoms O and/or S and/or several aromatic cycles, and the pharmaceutically acceptable salts of said compounds. Said precursors and cyclized thiazolium derivatives are useful as antiparasitic medicines in particular antimalarial and antibabesiosis.

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